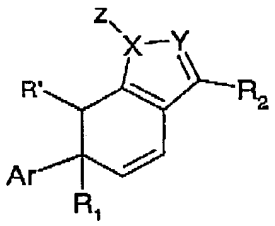
Application Ser. No.: 10/761,982
Filing Date: January 21, 2004
Examiner: Stockton, Laura

Amendment Pursuant to 37 C.F.R. § 1.121

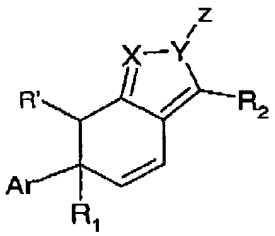
IN THE CLAIMS:

The claims set forth below with amendments as indicated will replace all prior versions and listing of claims in the application.

1. (Previously presented) A compound of formula (1) or formula (2)



(1)



(2)

wherein:

X and Y are N;

Ar is:

phenyl optionally substituted with one or more substituents selected from the group consisting of: halogen, $(C_1\text{-}C_4)$alkyl, $(C_1\text{-}C_4)$alkoxy, thio$(C_1\text{-}C_4)$alkyl, NO_2, NH$(C_1\text{-}C_4)$alkyl and N$((C_1\text{-}C_4)\text{alkyl})_2$ wherein said alkyl may optionally form a 4 to 6 membered ring together with the heteroatom to which it is attached and an ortho carbon of the phenyl wherein said 4 to 6 membered ring may contain a second hetero atom selected from the group consisting of O, S and N,

Z is H, 4-aminophenyl, SO_2R_3 or COR_3 wherein R_3 is $(C_1\text{-}C_4)$alkyl, $(C_3\text{-}C_6)$cycloalkyl, Ar as defined above, $(C_2\text{-}C_6)$alkenyl or $(C_2\text{-}C_6)$alkynyl;

R_1 is H, $(C_1\text{-}C_4)$alkyl, $(C_3\text{-}C_6)$cycloalkyl or Ar as defined above;

R' is H or $(C_1\text{-}C_4)$alkyl; and

when Z is H, R_2 is selected from the group consisting of:

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cyano,

$C(O)$-ORa_1 wherein Ra_1 is methyl, ethyl or isopropyl,

$C(O)$-$NHRa_2$ wherein Ra_2 is cyclopropyl,

$C(O)$-$N(Ra_2')$, wherein $N(Ra_2')$ is aziridinyl or azetidinyl, optionally substituted with $(C_1$-$C_4)$alkyl or Ar as defined above,

$C(O)$-$N(Ra_3)$-ORa_3 wherein each Ra_3 may be identical or different and each Ra_3 is independently selected from the group consisting of methyl, ethyl or cyclopropyl,

$C(O)Ra_4$ wherein Ra_4 is Ar as defined above or $(C_3$-$C_5)$cycloalkyl optionally substituted with $(C_1$-$C_4)$alkyl or Ar as defined above,

$C(Ra_4)$=N-Rb wherein:

Ra_4 is H, Ar as defined above, or $(C_3$-$C_5)$cycloalkyl optionally substituted with $(C_1$-$C_4)$alkyl or Ar as defined above, and

Rb is $(C_1$-$C_2)$alkyl, $(C_3$-$C_5)$cycloalkyl, hydroxyl, $(C_1$-$C_4)$alkoxy, $(C_2$-$C_4)$alkenyloxy, or $(C_1$-$C_4)$alkylenoxy wherein said $(C_1$-$C_4)$alkylenoxy optionally may be substituted with halogen or a group selected from the group consisting of carboxyl, $(CH_2)_n$Ar wherein n is 0 or 1 and Ar is as defined above, $(C_1$-$C_4)$alkoxy, NH_2, $NH(C_1$-$C_4)$alkyl, and $N((C_1$-$C_4)$alkyl$)_2$ wherein said alkyls together with the heteroatom to which they are attached may optionally form a 3 to 6 membered ring which may optionally contain a second hetero atom selected from the group consisting of O, S and N,

NH-$C(O)Ra_4$ wherein Ra_4 is H, Ar as defined above, or $(C_3$-$C_5)$cycloalkyl optionally substituted with $(C_1$-$C_4)$alkyl or Ar as defined above,

$NHRa_4$ wherein Ra_4 is H, Ar as defined above, or $(C_3$-$C_5)$cycloalkyl optionally substituted with $(C_1$-$C_4)$alkyl or Ar as defined above,

phenyl, and

5 to 6 membered aromatic heterocycle containing 1 to 3 hetero atoms selected from the group consisting of O, N and S; and

when Z is SO_2R_3 or COR_3, R_2 is carboxyl, NH_2, $NH(C_1\text{-}C_4)$alkyl, $N((C_1\text{-}C_4)alkyl)_2$ or $(C_3\text{-}C_5)$cycloalkylamino; or
a stereoisomeric form of the compound of formula (1) or formula (2), or mixtures of the stereoisomeric forms thereof in any ratio; or
a pharmaceutically acceptable salt of the compound of formula (1) or formula (2).

2. (Original) The compound according to claim 1 wherein Ar is phenyl, 4-fluorophenyl or 4-methoxyphenyl.

3. (Original) The compound according to claim 2 wherein R_1 is H, $(C_1\text{-}C_4)$alkyl, phenyl or substituted phenyl.

4. (Canceled).

5. (Previously presented) The compound according to claim 3 wherein R_2 is C(O)-ORa_1 and wherein Ra_1 is methyl, ethyl or isopropyl.

6. (Original) The compound according to claim 5 selected from the group consisting of:

ethyl 6,6-diphenyl-6,7-dihydro-2H-indazole-3-carboxylate,
isopropyl 6,6-diphenyl-6,7-dihydro-2H-indazole-3-carboxylate,
methyl 6,6-diphenyl-6,7-dihydro-2H-indazole-3-carboxylate,
ethyl 6-(R,S)-6-methyl-6-phenyl-6,7-dihydro-1H-indazole-3-carboxylate,
ethyl 6-(+)-6-methyl-6-phenyl-6,7-dihydro-1H-indazole-3-carboxylate,
ethyl 6-(R,S)-6-phenyl-6,7-dihydro-2H-indazole-3-carboxylate,
ethyl 6-(R)-6-phenyl-6,7-dihydro-2H-indazole-3-carboxylate,
ethyl 6-(S)-6-phenyl-6,7-dihydro-2H-indazole-3-carboxylate,

ethyl 6,6-bis(4-methoxyphenyl)-6,7-dihydro-1H-indazole-3-carboxylate,

ethyl 6-(R,S)-6-(3,4-dimethoxyphenyl)-6-phenyl-6,7-dihydro-1H-indazole-3-carboxylate,

ethyl 6-(R,S)-6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazole-3-carboxylate,

ethyl (-)-6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazole-3-carboxylate,

ethyl (+)-6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazole-3-carboxylate,

ethyl 6,6-bis(4-fluorophenyl)-6,7-dihydro-1H-indazole-3-carboxylate, and

ethyl 7-methyl-6,6-diphenyl-6,7-dihydro-1H-indazole-3-carboxylate.

7. (Previously presented) The compound according to claim 3 wherein R_2 is $CORa_4$ and Ra_4 is Ar or $(C_3\text{-}C_5)$cycloalkyl.

8. (Original) The compound according to claim 7 selected from the group consisting of:

cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone,

cyclobutyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone,

(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)phenylmethanone,

(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)-(1H-pyrrol-3-yl)methanone,

6-(R,S)-cyclopropyl[6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazol-3-yl]methanone,

(-)-cyclopropyl[6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazol-3-yl]methanone,

(+)-cyclopropyl[6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazol-3-yl]methanone, and

cyclopropyl[6,6-bis(4-fluorophenyl)-6,7-dihydro-1H-indazol-3-yl]methanone.

9. (Previously presented) The compound according to claim 3 wherein R_2 is C(O)-NHRa$_2$, C(O)-N(Ra$_3$)-ORa$_3$ or C(O)-N(Ra$_2$').

10. (Original) The compound according to claim 9 selected from the group consisting of:

N-(cyclopropyl)-6,6-diphenyl-6,7-dihydro-1H-indazole-3-carboxamide,

azetidin-1-yl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone,

(N-methoxy-N-methyl)-6,6-diphenyl-6,7-dihydro-1H-indazole-3-carboxamide, and

aziridin-1-yl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone.

11. (Previously presented) The compound according to claim 3 wherein R_2 is C(Ra$_4$)=N-Rb.

12. (Original) The compound according to claim 11 selected from the group consisting of:

(E,Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl) methanone oxime,

(E)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl) methanone oxime,

(Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl) methanone oxime,

(E,Z)cyclobutyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone oxime,

(E)cyclobutyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone oxime,

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(Z)cyclobutyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone oxime,
(E,Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-2H-indazol-3-yl)methanone O-methyloxime,
(E)cyclopropyl(6,6-diphenyl-6,7-dihydro-2H-indazol-3-yl)methanone O-methyloxime,
(Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-2H-indazol-3-yl)methanone O-methyloxime,
(E,Z)6,6-diphenyl-6,6-dihydro-1H-indazole-3-carbaldehyde O-methyloxime,
(E, Z)cyclobutyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-allyloxime,
(E)cyclobutyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-allyloxime,
(Z)cyclobutyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-allyloxime,
(E,Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-allyloxime,
(Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-allyloxime,
(E)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-allyloxime,
(E,Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(2-methoxyethyl)oxime,
(Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(2-methoxyethyl)oxime,
(E)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(2-methoxyethyl)oxime,
(E,Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-benzyloxime,

(Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-benzyloxime,
(E)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-benzyloxime,
(E,Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(4-nitrobenzyl)oxime,
(Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(4-nitrobenzyl)oxime,
(E)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(4-nitrobenzyl)oxime,
(E,Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(2-dimethylaminoethyl)oxime,
(Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(2-dimethylaminoethyl)oxime,
(E)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(2-dimethylaminoethyl)oxime,
(E,Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(2-fluoroethyl)oxime,
(Z)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(2-fluoroethyl)oxime,
(E)cyclopropyl(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)methanone O-(2-fluoroethyl)oxime,
(E,Z)-6-(R,S)-cyclopropyl[6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazol-3-yl]methanone oxime,
(E)-6-(R,S)-cyclopropyl[6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazol-3-yl]methanone oxime,
(Z)-6-(R,S)-cyclopropyl[6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazol-3-yl]methanone oxime,
(-)-6-(Z)-cyclopropyl[6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazol-3-yl]methanone oxime,

(-)-6-(E)-cyclopropyl[6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazol-3-yl]methanone oxime,
(+)-6-(Z)-cyclopropyl[6-(4-fluorophenyl)-6-phenyl-6,7-dihydro-1H-indazol-3-yl]methanone oxime,
(E,Z)cyclopropyl[6,6-bis(4-fluorophenyl)-6,7-dihydro-1H-indazol-3-yl]methanone oxime,
(Z)cyclopropyl[6,6-bis(4-fluorophenyl)-6,7-dihydro-1H-indazol-3-yl]methanone oxime, and
(E)cyclopropyl[6,6-bis(4-fluorophenyl)-6,7-dihydro-1H-indazol-3-yl]methanone oxime.

13. (Previously presented) The compound according to claim 3 wherein R_2 is NH-C(O)Ra_4.

14. (Previously presented) The compound according to claim 13 selected from the group consisting of:
N-(6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl)cyclopropylamide, and
N-[6,6-diphenyl-6,7-dihydro-1H-indazol-3-yl]benzamide.

15. (Previously presented) The compound according to claim 3 wherein R_2 is phenyl, pyridyl, oxadiazolyl or thiophenyl.

16. (Original) The compound according to claim 15 selected from the group consisting of:
3-(3-methyl[1,2,4]oxadiazol-5-yl)-6,6-diphenyl-6,7-dihydro-1H-indazole,
3,6,6-triphenyl-6,7-dihydro-1H-indazole,
6,6-diphenyl-3-pyrid-3-yl-6,7-dihydro-1H-indazole, and
6,6-diphenyl-3-thiophen-3-yl-6,7-dihydro-1H-indazole.

17. (Previously presented) The compound according to claim 3 wherein R_2 is CN.

18. (Previously presented) The compound according to claim 17 wherein the compound is 6,6-diphenyl-6,7-dihydro-1H-indazole-3-carbonitrile.

19. (Original) The compound according to claim 1 wherein Z is SO_2R_3 or COR_3.

20. (Original) The compound according to claim 19 selected from the group consisting of:

6,6-diphenyl-1-(4-toluenesulphonyl)-6,7-dihydro-1H-indazol-3-ylamine and

1-(3-amino-6,6-diphenyl-6,7-dihydroindazol-1-yl)propenone.

21. (Original) The compound according to claim 1 wherein Z is 4-aminophenyl.

22. (Original) The compound according to claim 21 wherein the compound is ethyl 1-(4-aminophenyl)-6,6-diphenyl-1H-indazole-3-carboxylate.

23. – 34. (Canceled).

35. (Original) A pharmaceutical composition comprising one or more compounds of formula (1) or formula (2) according to claim 1 and one or more pharmaceutically acceptable carriers, diluents, adjuvants or excipients.